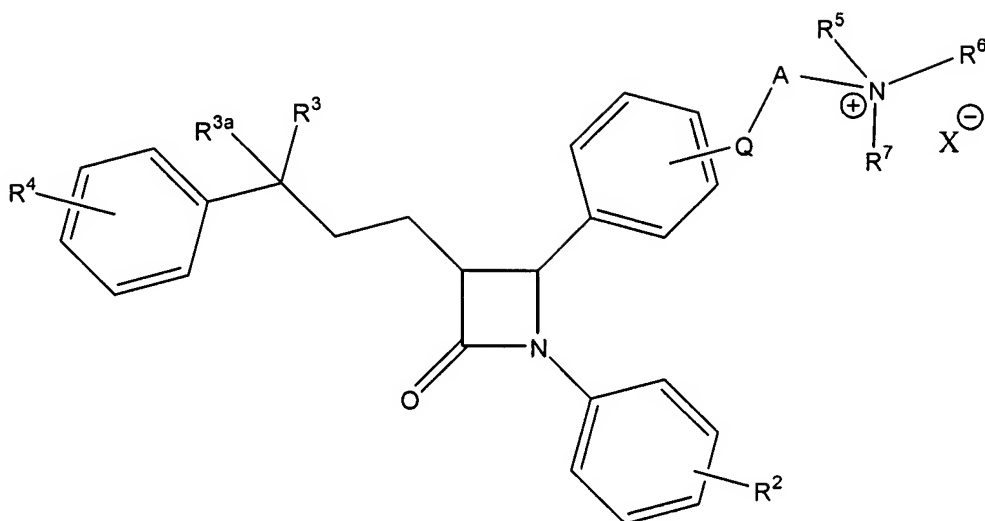
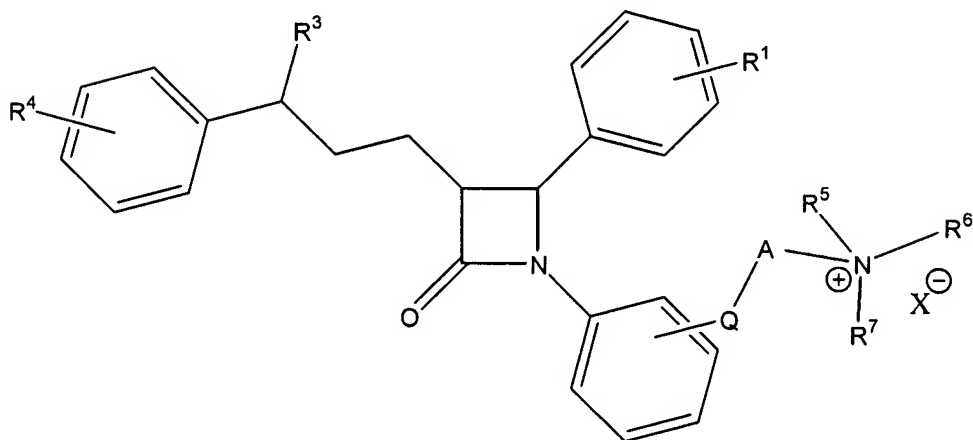


Claims:

1. A compound of formula



or



wherein

R^1 and R^2 are chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, acyl, lower aminoalkyl, alkylsulfonyl, arylsulfonyl, a sugar, a glucuronide and a sugar carbamate;

R^3 is chosen from H, -OH, fluoro and -O-loweralkyl;

R^{3a} is chosen from H and fluoro, or R^{3a} and R^3 together are =O;

R^4 is chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, acyl and lower aminoalkyl, alkylsulfonyl, arylsulfonyl;

Q is chosen from a direct bond, -O-, -S-, -NH-, -CH₂O-, -CH₂NH-, -C(=O)-, -CONH-, -NHCO-, -O(C=O)-, -(C=O)O-, -NHCONH-, -OCONH- and -NHCOO- ;

A is chosen from C₂ to C₂₀ hydrocarbon, substituted alkyl of 2 to 20 carbons, substituted aryl, substituted arylalkyl, and oxaalkyl of four to fifty carbons; and, when Q is a direct bond, -C(=O) or -O(C=O)-, A may additionally be methylene;

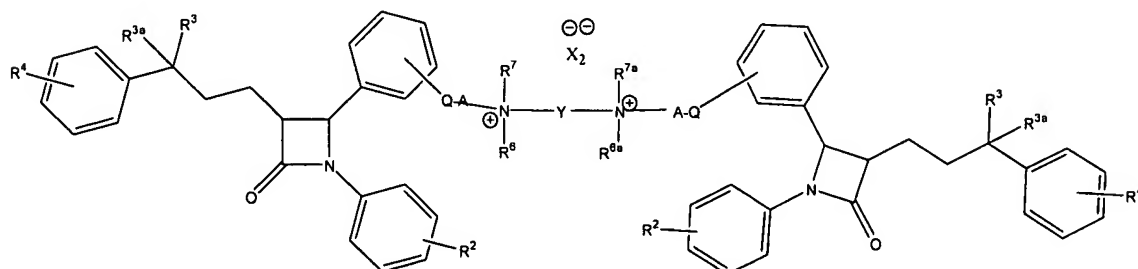
R^5 forms a five- to seven-membered ring with A or R^6 ;

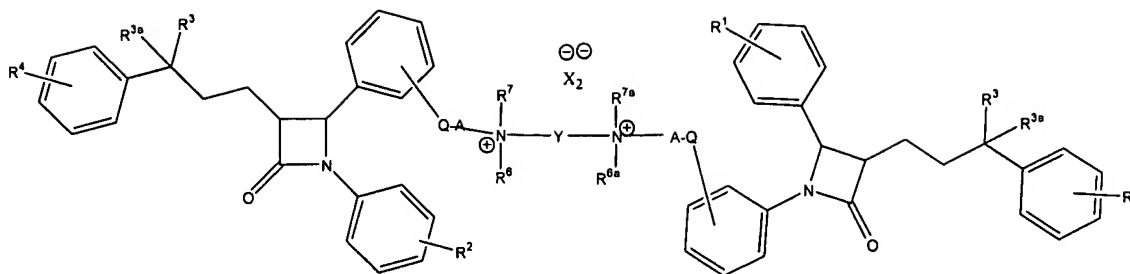
R^6 is alkyl, forms a double bond with A or forms a five- to seven-membered ring with R^5 ;

R^7 is alkyl or together with R^5 or R^6 forms a second five- to seven-membered ring; and when Q is not -O- or -CH₂NH-, R^5 may additionally be alkyl or aryl; and

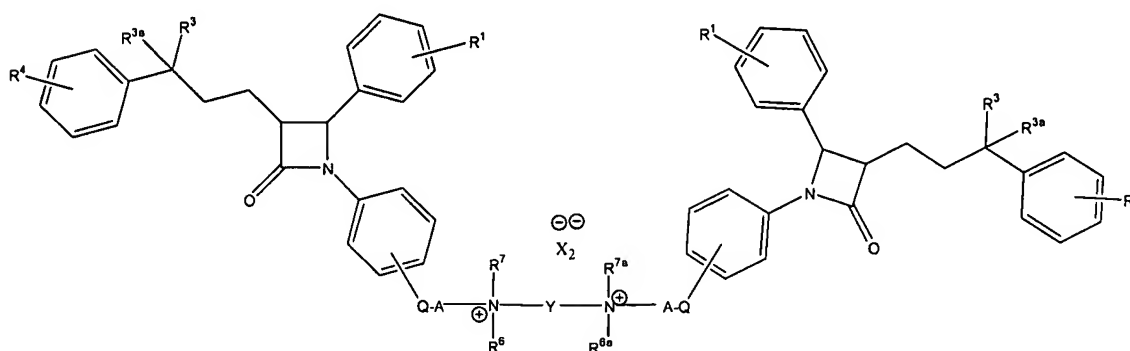
X is an anion.

2. A compound chosen from three isomers of formulae:





and



wherein

R^1 and R^2 are chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, acyl, lower aminoalkyl, alkylsulfonyl, arylsulfonyl, a sugar, a glucuronide and a sugar carbamate;

R^3 is chosen from H, -OH, fluoro and -O-loweralkyl;

R^{3a} is chosen from H and fluoro, or R^{3a} and R^3 together are =O;

R^4 is chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, acyl and lower aminoalkyl, alkylsulfonyl, arylsulfonyl;

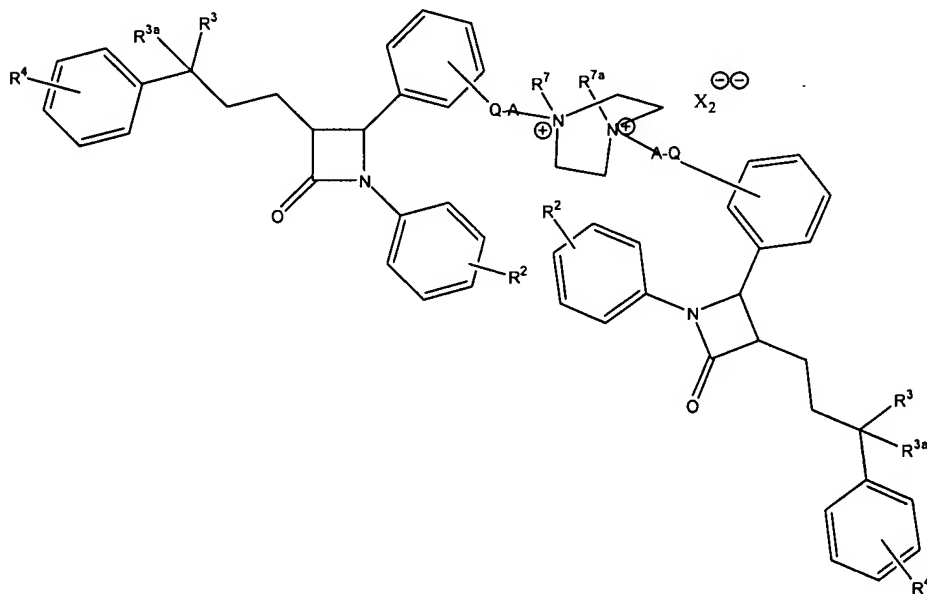
Q is chosen from a direct bond, -O-, -S-, -NH-, -CH₂O-, -CH₂NH-, -C(=O)-, -CONH-, -NHCO-, -O(C=O)-, -(C=O)O-, -NHCONH-, -OCONH- and -NHCOO- ;

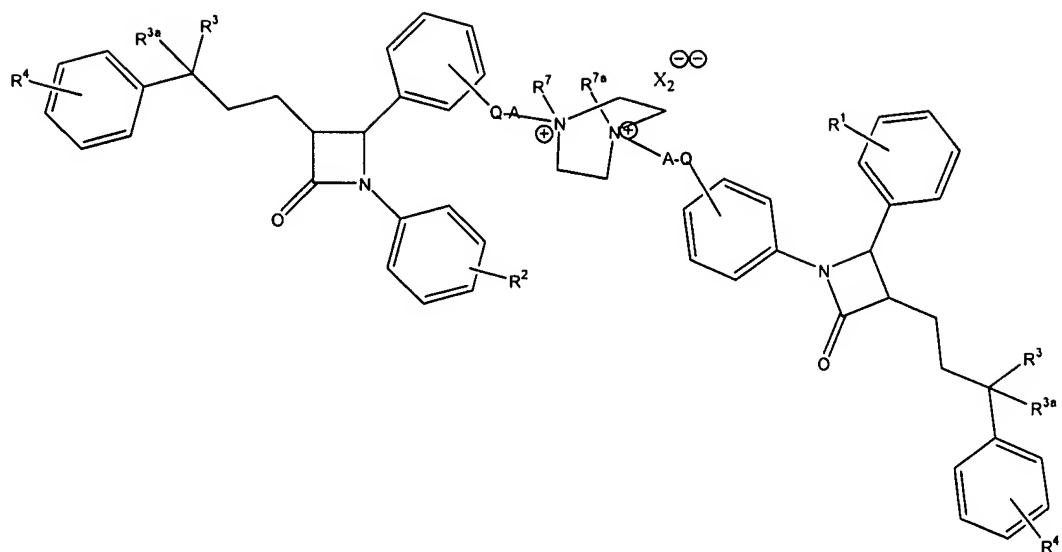
A is chosen from C₂ to C₂₀ hydrocarbon, substituted alkyl of 2 to 20 carbons, substituted aryl, substituted arylalkyl and oxaalkyl of four to fifty carbons; and, when Q is a direct bond, -C(=O) or -O(C=O)-, A may additionally be methylene;

Y is chosen from C₂ to C₂₀ hydrocarbon, substituted alkyl of 2 to 20 carbons, substituted arylalkyl and oxaalkyl of four to fifty carbons;

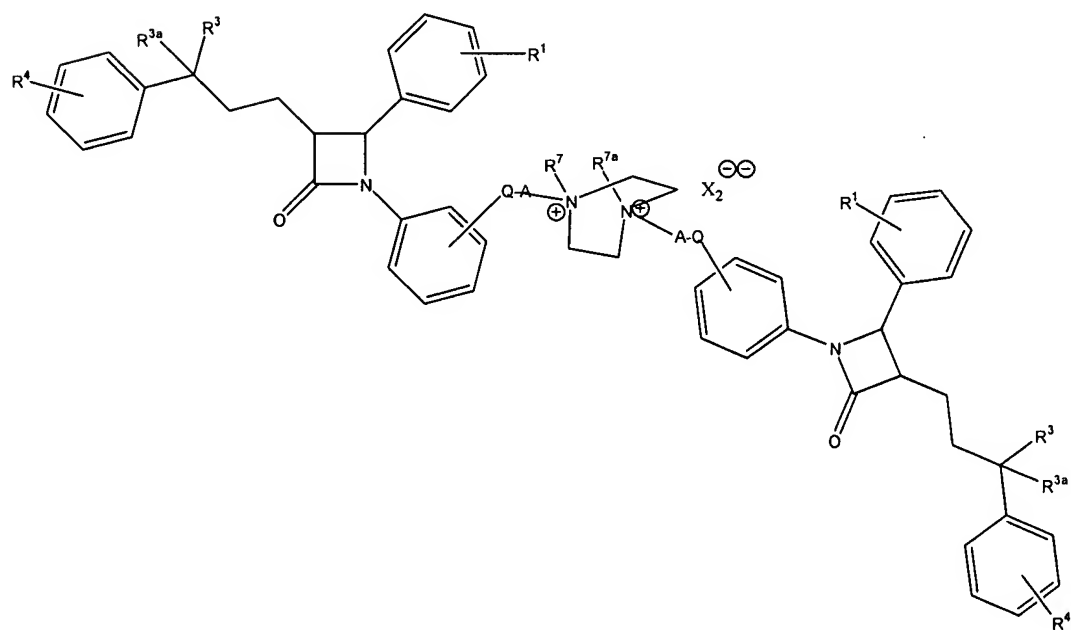
R⁶ and R^{6a} are alkyl or together with Y form a first five- to seven-membered ring;
 R⁷ and R^{7a} are alkyl or together form a second five- to seven-membered ring; and
 X₂ is either a dianion or two monoanions.

3. A compound according to claim 2 chosen from three isomers of formulae:





and



4. A compound according to any of claims 1, 2 or 3 wherein R⁷ forms a second six-membered ring.

5. A compound according to any of claims 1 to 4 wherein -Q-A- is chosen from (C₂ to C₂₀ hydrocarbon), -O-(C₂ to C₂₀ hydrocarbon), -NH(C₂ to C₂₀ hydrocarbon), -NHCO(C₂ to C₂₀ hydrocarbon) and oxaalkyl of four to fifty carbons.

6. A compound according to any of claims 1 to 5 wherein

R¹ and R² are chosen from H, halogen, -OH, and methoxy;

R³ is -OH; and

R⁴ is fluoro.

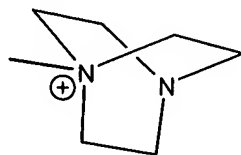
7. A compound according to any of claims 1 to 5 wherein

R¹ and R² are chosen from a sugar, a glucuronide and a sugar carbamate;

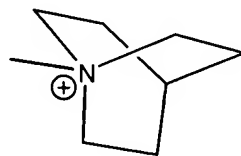
R³ is -OH; and

R⁴ is fluoro.

8. A compound according to any of claims 1 or 4 to 7 wherein R⁵, R⁶ and R⁷ taken together form a diazabicyclooctane quat:



9. A compound according to any of claims 1 or 4 to 7 wherein R⁵, R⁶ and R⁷ taken together form a quinuclidinium quat:



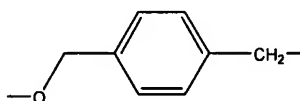
10. A compound according to any of claims 2 to 7 wherein R⁷ and R^{7a} taken together form a diazabicyclooctane bisquat:

The chemical structure shows a central bicyclic core, specifically a 1,4-dioxane derivative, with two nitrogen atoms. Each nitrogen is substituted with a 4-phenyloxyphenyl group. The phenyl rings are further substituted with a 4-fluorophenyl group and a 4-hydroxyphenyl group. The side chains are connected to the central core via ether linkages. The stereochemistry is indicated with wedged and dashed bonds at the chiral centers.

54

and Y is chosen from C₂ to C₁₀ alkylene and xylylene.

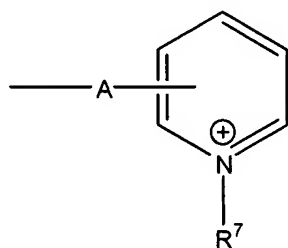
14. A compound according to any of claims 1 to 13 wherein -Q-A- is



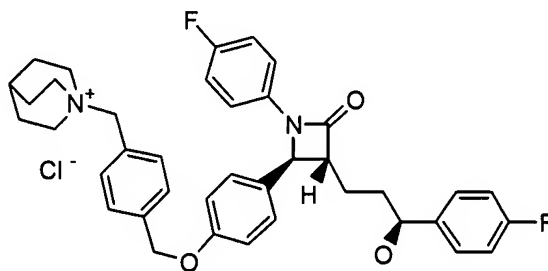
15. A compound according to any of claims 1, 6 or 7 wherein R⁵ forms a six-membered ring with A;

R⁶ forms a double bond with A; and

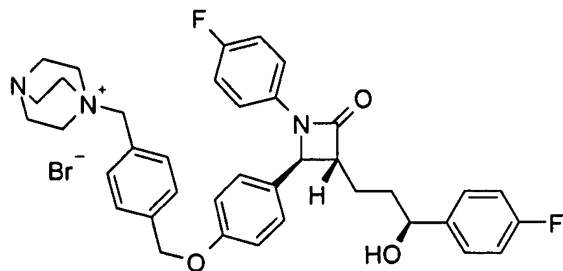
R⁷ is alkyl;



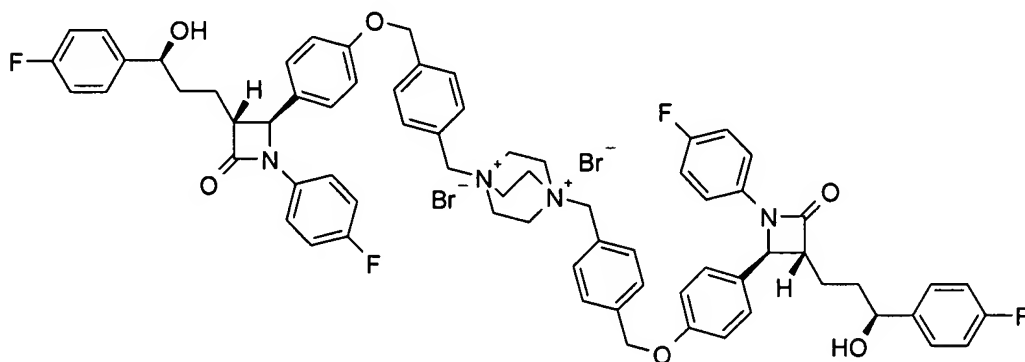
16. 1-{4-[(4-{(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-2-yl}phenoxy)methyl]benzyl}-1-azoniabicyclo[2.2.2]octane chloride



17. 1-{4-[(4-{(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-2-yl}phenoxy)methyl]benzyl}-4-aza-1-azoniabicyclo[2.2.2]octane



18. 1,4-bis{4-[(4-{(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxoazetidin-2-yl}phenoxy)methyl]benzyl}-1,4-diazoniabicyclo[2.2.2]octane



19. A compound according to any of claims 1 to 18 wherein X or X₂ is a pharmaceutically acceptable anion.
20. A compound according to claim 19 wherein X is an anion chosen from the group consisting of hydroxide, acetate, benzenesulfonate (besylate), benzoate, bicarbonate, bisulfate, carbonate, camphorsulfonate, citrate, ethanesulfonate, fumarate, gluconate, glutamate, bromide, chloride, isethionate, lactate maleate, malate, mandelate, methanesulfonate, mucate, nitrate, pamoate, pantothenate, phosphate, succinate, sulfate, tartrate and p-toluenesulfonate.

21. A compound according to any of claims **2** to **7**, **10**, **12**, **13** or **19** wherein X₂ is a dianion chosen from the group consisting of carbonate, citrate, fumarate, lactate, maleate, malate, phosphate, succinate, sulfate and tartrate.
22. A pharmaceutical formulation comprising a compound according to any of claims **19** to **21** and a pharmaceutically acceptable carrier.
23. A pharmaceutical formulation according to claim **22** additionally comprising an inhibitor of cholesterol biosynthesis.
24. A method for treating a disorder of lipid metabolism comprising administering a to a mammal a therapeutically effective amount of a compound according to any of claims **19** to **21**.
25. A method according to claim **24**, wherein said disorder of lipid metabolism is hyperlipidemia.
26. A method according to claim **24**, wherein said disorder of lipid metabolism is arteriosclerosis.
27. A method for inhibiting the absorption of cholesterol from the intestine of a mammal, which comprises administering an effective cholesterol-absorption-inhibiting amount of a compound according to any of claims **19** to **21** to the mammal.
28. A method for reducing the blood plasma or serum concentrations of LDL cholesterol in a mammal, which comprises administering an effective cholesterol reducing amount of a compound according to any of claims **19** to **21** to the mammal.
29. A method for reducing the concentrations of cholesterol and cholesterol ester in the blood plasma or serum of a mammal, which comprises administering and effective

cholesterol and cholesterol ester reducing amount of a compound according to any of claims **19** to **21** to the mammal.

30. A method for increasing the fecal excretion of cholesterol in a mammal, which comprises administering an effective cholesterol fecal excretion increasing amount of a compound according to any of claims **19** to **21** to the mammal.

31. A method for the prophylaxis or treatment of a clinical condition in a mammal, for which a cholesterol uptake inhibitor is indicated, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.

32. A method for reducing the incidence of coronary heart disease-related events in a mammal, which comprises administering an effective coronary heart disease-related events reducing amount of a compound according to any of claims **19** to **21** to the mammal.

33. A method for reducing the concentration of cholesterol in the blood plasma or serum of a mammal, which comprises administering an effective cholesterol reducing amount of a compound according to any of claims **19** to **21** to the mammal.

34. A method for reducing blood plasma or serum concentrations of C-reactive protein (CRP) in a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.

35. A method for reducing blood plasma or serum concentrations of triglycerides in a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.

36. A method for increasing blood plasma or serum concentrations of HDL cholesterol of a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.

37. A method for reducing blood plasma or serum concentrations of apolipoprotein B, in a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims **19** to **21** to the mammal.